

## EAST Search History

|     |      |   |  |    |     |                  |
|-----|------|---|--|----|-----|------------------|
| S29 | 3329 | (norvir or ritonavir or "ABT-538" or "A-80538") | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON  | 2007/02/08 16:02 |
| S30 | 15   | S28 and S29                                     | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON  | 2007/02/08 16:02 |
| S31 | 1    | ("5886036").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 10:54 |
| S32 | 1    | ("6037157").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 10:54 |
| S33 | 1    | ("6407252").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 10:59 |
| S34 | 1    | ("5541206").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 10:59 |
| S35 | 1    | ("5635523").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 11:03 |
| S36 | 1    | ("5648497").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 11:05 |
| S37 | 1    | ("6232333").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/14 11:05 |
| S38 | 1    | ("5968987").PN.                                 | US-PGPUB;<br>USPAT;<br>USOCR   | OR | OFF | 2007/05/23 14:23 |
| S39 | 0    | S38 and mono-diglycer\$                         | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT                           | OR | ON  | 2007/05/23 14:24 |
| S40 | 0    | S38 and mono-diglycer\$                         | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT                           | OR | ON  | 2007/05/23 14:24 |

## EAST Search History

|     |     |   |  |    |     |                  |
|-----|-----|---|--|----|-----|------------------|
| S41 | 1   | S38 and glycerid\$                                | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 14:25 |
| S42 | 1   | S38 and \$glyceride                               | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 14:29 |
| S43 | 1   | ("5436006").PN.                                   | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/23 14:29 |
| S44 | 0   | ("l6and\$glyceride").PN.                          | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/23 14:29 |
| S45 | 0   | ("l6and\$glyceride").PN.                          | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/23 14:29 |
| S46 | 1   | S43 and \$glyceride                               | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 14:30 |
| S47 | 1   | ("4722941").PN.                                   | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/23 14:32 |
| S48 | 1   | S47 and \$glyceride                               | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:02 |
| S49 | 825 | 514/310.ccls.                                     | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:02 |
| S50 | 142 | S49 and hiv                                       | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:03 |
| S51 | 142 | S49 and (hiv or "acquired immun\$<br>deficien\$") | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:04 |

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|     |      |                        |  |    |     |                  |
|-----|------|------------------------|--|----|-----|------------------|
| S52 | 117  | S51 and alcohol        | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:05 |
| S53 | 130  | S51 and solvent        | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:05 |
| S54 | 52   | S51 and \$glycerid\$   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/23 18:12 |
| S55 | 1    | ("3995069").PN.        | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 08:46 |
| S56 | 2225 | "protease inhibit\$"   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 08:46 |
| S57 | 117  | S56 and "fatty acid\$" | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 08:47 |
| S58 | 73   | S57 and HIV            | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 08:53 |
| S59 | 6    | S57 and ritonavir      | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 09:13 |
| S60 | 1    | ("6521651").PN.        | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 10:56 |
| S61 | 3482 | ritonavir or norvir    | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 10:57 |

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|     |       |   |  |    |    |                  |
|-----|-------|---|--|----|----|------------------|
| S62 | 7922  | "proteinase inhibitor"  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 10:57 |
| S63 | 11244 | S61 or S62  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 10:57 |
| S64 | 4529  | S63 and (HIV or "immun\$<br>deficienc\$")   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 10:58 |
| S65 | 6599  | (ethanol or butanol or propanol or<br>((ethyl or butyl or propyl) near5<br>alcohol )) and S63 | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:06 |
| S66 | 4281  | S65 and ("propylene glycol" or<br>glycol)   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:09 |
| S67 | 482   | S66 and ("mono/diglycerid\$" or<br>\$glyceride or campul or alkonine)                         | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:11 |
| S68 | 482   | S67 and (PEG or polyethylene<br>glycol)   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:12 |
| S69 | 370   | S68 and (antioxid\$ or ascorbic or<br>citric)   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:13 |
| S70 | 322   | S69 and (surfact\$ or emulsif\$ or<br>cremofof or polysorbat\$ or "castor<br>oil")            | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:23 |
| S71 | 211   | S70 and(hiv or "immun\$ defien\$")  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 11:24 |

## EAST Search History

|     |       |                                |  |    |     |                  |
|-----|-------|--------------------------------|--|----|-----|------------------|
| S72 | 5     | ((OGARI) near2 (PACHECO)).INV. | US-PGPUB;<br>USPAT                               | OR | ON  | 2007/05/24 13:33 |
| S73 | 1     | ((ELISA) near2 (RUSOO)).INV.   | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | ON  | 2007/05/24 13:34 |
| S74 | 10    | ((VALTER) near2 (RUSSO)).INV.  | US-PGPUB;<br>USPAT                               | OR | ON  | 2007/05/24 15:21 |
| S75 | 5     | "6923988"                      | US-PGPUB;<br>USPAT                               | OR | ON  | 2007/05/24 15:21 |
| S76 | 1     | ("6923988").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 15:23 |
| S77 | 1     | ("6982281").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 15:27 |
| S78 | 1     | ("6929803").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 15:29 |
| S79 | 1     | ("6720001").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 15:31 |
| S80 | 1     | ("7141593").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 17:04 |
| S81 | 1     | ("4857345").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 17:05 |
| S82 | 99    | "oleic acid" near10 HLB        | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 18:41 |
| S83 | 1     | ("6008228").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 18:06 |
| S84 | 1     | ("4289637").PN.                | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/24 18:41 |
| S85 | 1     | S84 and "oleic acid"           | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 18:42 |
| S86 | 12466 | HLB and "fatty acid\$"         | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 18:43 |

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|     |       |  |  |    |    |                  |
|-----|-------|--|--|----|----|------------------|
| S87 | 12466 | HLB and "fatty acid"   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 18:43 |
| S88 | 1537  | HLB near5 "fatty acid"   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 18:43 |
| S89 | 1537  | HLB near5 "fatty acid"   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:14 |
| S90 | 3429  | ritonavir  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:14 |
| S91 | 2439  | S90 and ethanol  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:14 |
| S92 | 1583  | S91 and "propylene glycol"   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:15 |
| S93 | 110   | S92 and "PEG 400"  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:15 |
| S94 | 1447  | S92 and ("PEG 400" or<br>"polyethylene glycol")                                  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:15 |
| S95 | 1256  | S94 and (antioxidant or citric or<br>ascorbic)                                   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:16 |
| S96 | 1137  | S95 and (emulsion or sufactant or<br>"castor oil" or polysorbate or<br>cremofor) | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON | 2007/05/24 20:19 |

## EAST Search History

|          |      |   |  |    |     |                  |
|----------|------|---|--|----|-----|------------------|
| S97      | 206  | S96 and glyceride   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:20 |
| S98      | 184  | S96 and \$glyceride   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:20 |
| S99      | 51   | S96 and monoglyceride   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:18 |
| S10<br>0 | 154  | S96 and diglyceride   | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:18 |
| S10<br>1 | 1056 | S95 and (emulsif\$ or sufactant or "castor oil" or polysorbate or cremofof) | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:19 |
| S10<br>2 | 172  | S101 and \$glyceride  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:20 |
| S10<br>3 | 185  | S101 and glyceride  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/24 20:20 |
| S10<br>4 | 1    | ("6200602").PN.   | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/27 13:51 |
| S10<br>5 | 1    | S104 and akoline  | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT | OR | ON  | 2007/05/27 14:07 |
| S10<br>6 | 1    | ("6555558").PN.   | US-PGPUB;<br>USPAT;<br>USOCR                     | OR | OFF | 2007/05/27 14:28 |

## EAST Search History

|          |        |  |  |    |    |                  |
|----------|--------|--|--|----|----|------------------|
| S10<br>8 | 33     | "vacuum distillation" or "reduced pressure distillation" | US-PGPUB;<br>USPAT;<br>USOCR;<br>EPO;<br>DERWENT                           | OR | ON | 2007/05/27 14:28 |
| S10<br>9 | 331    | chaturvedi.inv.  | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/05/29 11:38 |
| S11<br>0 | 9      | S109 and hiv   | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/05/29 11:39 |
| S11<br>1 | 468247 | protease inhibitor or ritonavir                          | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:13 |
| S11<br>2 | 149224 | S111 and ethanol   | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:13 |
| S11<br>3 | 48053  | S112 and "propylene glycol"                              | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:13 |
| S11<br>4 | 7674   | S113 and (monoglycer\$ or diglycer\$)                    | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:14 |



## EAST Search History

|          |      |                                       |  |    |    |                  |
|----------|------|---------------------------------------|--|----|----|------------------|
| S11<br>5 | 2862 | S114 and PEG                          | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:14 |
| S11<br>6 | 7674 | S114 and (PEG or polyethylene glycol) | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:15 |
| S11<br>7 | 3847 | S116 and antioxid\$                   | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:16 |
| S11<br>8 | 100  | S117 and ritonavir                    | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:36 |
| S11<br>9 | 3444 | ritonavir                             | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:36 |
| S12<br>0 | 2447 | S119 and ethanol                      | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:36 |
| S12<br>1 | 1589 | S120 and "propylene glycol"           | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:36 |

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|          |      |  |  |    |    |                  |
|----------|------|--|--|----|----|------------------|
| S12<br>2 | 1450 | S121 and ("PEG 400" or<br>"polyethylene glycol") | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:37 |
| S12<br>3 | 204  | S122 and (monoglycerid\$ or<br>diglycerid\$)     | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:38 |
| S12<br>4 | 98   | S123 and antioxid\$                              | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:38 |
| S12<br>5 | 63   | S124 and surfact\$                               | US-PGPUB;<br>USPAT;<br>USOCR;<br>FPRS;<br>EPO; JPO;<br>DERWENT;<br>IBM_TDB | OR | ON | 2007/06/03 19:38 |

L14 ANSWER 55 OF 59 USPATFULL on STN

ACCESSION NUMBER: 1998:24940 USPATFULL <<LOGINID::20070524>>  
TITLE: Pharmaceutical composition comprising HIV protease  
inhibiting compounds  
INVENTOR(S): Al-Razzak, Laman A., Libertyville, IL, United States  
Marsh, Kennan C., Lake Forest, IL, United States  
Kaul, Dilip, Waukegan, IL, United States  
Manning, Lourdes P., Grayslake, IL, United States  
PATENT ASSIGNEE(S): Abbott Laboratories, Abbott Park, IL, United States  
(U.S. corporation)

|                       | NUMBER  | KIND | DATE         |
|-----------------------|---|------|--------------|
| PATENT INFORMATION:   | US 5725878  |      | 19980310     |
| APPLICATION INFO.:    | US 1995-435009  |      | 19950504 (8) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1995-402690, filed on 13 Mar 1995 which is a continuation-in-part of Ser. No. US 1994-288873, filed on 15 Aug 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-267331, filed on 28 Jun 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-188511, filed on 28 Jan 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-120886, filed on 13 Sep 1993, now abandoned |      |              |
| DOCUMENT TYPE:        | Utility   |      |              |
| FILE SEGMENT:         | Granted   |      |              |
| PRIMARY EXAMINER:     | Venkat, Jyothsan  |      |              |
| LEGAL REPRESENTATIVE: | Crowley, Steven R.  |      |              |
| NUMBER OF CLAIMS:     | 4   |      |              |
| EXEMPLARY CLAIM:      | 1,3   |      |              |
| LINE COUNT:           | 2134  |      |              |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A pharmaceutical composition is disclosed which comprises a solution of an HIV protease inhibiting compound in a pharmaceutically acceptable organic solvent comprising a pharmaceutically acceptable alcohol. The composition can optionally comprise a pharmaceutically acceptable acid or a combination of pharmaceutically acceptable acids. The solution can optionally be encapsulated in hard gelatin capsules or soft elastic gelatin capsules. The solution can optionally be granulated with a pharmaceutically acceptable granulating agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM Examples of HIV protease inhibiting compounds include N-(2(R)-hydroxy-1(S)-indanyl)-2(R)-phenylmethyl-4(S)-hydroxy-5-(1-(4-(3-pyridylmethyl)-2(S)-N'-(t-butylcarboxamido)-piperazinyl))-pentaneamide and related compounds, disclosed in European Patent Application No. EP541168, published May 12, 1993, which is incorporated herein by reference; N-tert-butyl-decahydro-2-[2(R)-hydroxy-4-phenyl-3(S)-[[N-(2-quinolylcarbonyl)-L-asparaginy]amino]butyl]-4aS,8aS)-isoquinoline-3(S)-carboxamide (i.e., saquinavir) and related compounds, disclosed in U.S. Pat. No. 5,196,438, issued Mar. 23, 1993, which is incorporated herein by. . . and related compounds, disclosed in European Patent Application No. EP532466, published Mar. 17, 1993, which is incorporated herein by reference; 1-Naphthoxyacetyl-beta-methylthio-Ala-(2S,3S)-3-amino-2-hydroxy-4-butanoyl-1,3-thiazolidine-4-t-butylamide (i.e., 1-Naphthoxyacetyl-Mta-(2S,3S)-AHPBA-Thz-NH-tBu), 5-isoquinolinoxyacetyl-beta-methylthio-Ala-(2S,3S)-3-amino-2-hydroxy-4-butanoyl-1,3-thiazolidine-4-t-butylamide (i.e., iQoa-Mta-Apns-Thz-NHtBu) and related compounds, disclosed in European Patent Application No. EP490667, published Jun. 17, 1992 and Chem. Pharm. Bull. 40 (8) 2251 (1992), which are incorporated herein by reference; [1S-{1R\*(R\*),2S\*}] -N.sup.1 [3-[[[(1,1-dimethylethyl)amino]carbonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolylcarbonyl)amino]-

butanediamide and related compounds, disclosed in PCT Patent Application No. WO92/08701, published May 29, 1992, which is incorporated herein by reference; . . .

SUMM . . . weight of the total solution) of water. In addition, the solution composition of the invention can comprise a pharmaceutically acceptable surfactant or a mixture of pharmaceutically acceptable surfactants. In addition, the solution composition of the invention can comprise an antioxidant (for example, ascorbic acid, BHA (butylated hydroxyanisole), BHT (butylated hydroxytoluene), vitamin E, vitamin E PEG 1000 succinate and the like) for chemical stability. Solutions encapsulated in a SEC may also comprise glycerin for physical stability.

SUMM . . . (base) or non-formulated compound II (acid addition salt), or even when compared to a mixed aqueous/organic solution (50% water, 20% ethanol, 30% propylene glycol) of compound II (methanesulfonate acid addition salt).

SUMM The term "pharmaceutically acceptable organic solvent" as used herein refers to polypropylene glycol; polyethylene glycol (for example, polyethylene glycol 600, polyethylene glycol 900, polyethylene glycol 540, polyethylene glycol 1450, polyethylene glycol 6000, polyethylene glycol 8000 (all available from Union Carbide) and the like); pharmaceutically acceptable alcohols which are liquids at about room temperature, approximately 20° C., (for example, propylene glycol, ethanol, 2-(2-ethoxyethoxy)ethanol (Transcutol®, Gattefosse, Westwood, N.J. 07675), benzyl alcohol, glycerol, polyethylene glycol 200, polyethylene glycol 300, polyethylene glycol 400 and the like); polyoxyethylene castor oil derivatives (for example, polyoxyethyleneglyceroltriricinoleate or polyoxyl 35 castor oil (Cremophor®EL, BASF Corp.), polyoxyethyleneglycerol oxystearate (Cremophor®RH 40 (polyethyleneglycol 40 hydrogenated castor oil) or Cremophor®RH 60 (polyethyleneglycol 60 hydrogenated castor oil), BASF Corp.) and the like); saturated polyglycolized glycerides (for example, Gelucire® 35/10, Gelucire® 44/14, Gelucire® 46/07, Gelucire® 50/13 or Gelucire® 53/10 and the like, available from Gattefosse, Westwood, N.J. 07675); polyoxyethylene alkyl ethers (for example, cetomacrogol 1000 and the like); polyoxyethylene stearates (for example, PEG-6 stearate, PEG-8 stearate, polyoxyl 40 stearate NF, polyoxyethyl 50 stearate NF, PEG-12 stearate, PEG-20 stearate, PEG-100 stearate, PEG-12 distearate, PEG-32 distearate, PEG-150 distearate and the like); ethyl oleate, isopropyl palmitate, isopropyl myristate and the like; dimethyl isosorbide; N-methylpyrrolidinone; paraffin; cholesterol; lecithin; suppository bases; pharmaceutically acceptable waxes (for example, carnauba wax, yellow wax, white wax, microcrystalline wax, emulsifying wax and the like); pharmaceutically acceptable silicon fluids; sorbitan fatty acid esters (including sorbitan laurate, sorbitan oleate, sorbitan palmitate, sorbitan stearate and the like); pharmaceutically acceptable saturated fats or pharmaceutically acceptable saturated oils (for example, hydrogenated castor oil (glyceryl-tris-12-hydroxystearate), cetyl esters wax (a mixture of primarily C14-C18 saturated esters of C14-C18 saturated fatty acids having a melting range. . .

SUMM . . . mineral oil or a vegetable oil (for example, safflower oil, peanut oil, olive oil, fractionated coconut oil (for example, mixed triglycerides with caprylic acid and capric acid (Miglyol® 812, available from Huls AG, Witten, Germany) and the like), propyleneglycol monolaurate and the like.

ANSWER 51 OF 59 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:725436 CAPLUS <<LOGINID::20070524>>  
 DOCUMENT NUMBER: 133:301171  
 TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents  
 INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.  
 PATENT ASSIGNEE(S): Lipocine, Inc., USA  
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| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
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| WO 2000059475   | A1   | 20001012 | WO 2000-US7342  | 20000316 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| US 6383471  | B1   | 20020507 | US 1999-287043  | 19990406 |
| CA 2366702  | A1   | 20001012 | CA 2000-2366702 | 20000316 |
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 WO 2000-US7342 W 20000316

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention is directed to a pharmaceutical composition including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated